(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 23 October 2003 (23.10.2003)

PCT

(10) International Publication Number: WO 03/087086 A2

(51) International Patent Classification⁷: C07D 401/06, A61K 31/404, A61P 43/00, C07D 405/12, 209/42, 471/04, 417/12, 401/12, 401/14

(21) International Application Number: PCT/EP03/03806

(22) International Filing Date:

11 April 2003 (11.04.2003)

(25) Filing Language:

German

(26) Publication Language:

German

(30) Priority Data: 102 17 006.1 16 April 2002 (16.04.2002) DE

(71) Applicant (for all designated States except US): MERCK PATENT GMBH [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): SCHADT, Oliver, [DE/DE]; Eschenstrasse 32, 63517 Rodenbach (DE). BÖTTCHER, Henning [DE/DE]; Stiftstrasse 12, 64287 Darmstadt (DE). LEIBROCK, Joachim [DE/DE]:

Muehlstrasse 91 a, 64319 Pfungstadt (DE). SCHIE-MANN, Kai [DE/DE]; MuEhltalstrasse 50, 64297 Darmstadt (DE). HEINRICH, Timo [DE/DE]; Roemerhofstrasse 6, 64823 Gross-Umstadt (DE). HÖLZE-MANN, Günter [DE/DE]; Gutenbergstrasse 6B, 64342 Seeheim-Jugenheim (DE). VAN AMSTERDAM, Christoph [DE/DE]; Schepp Allee 47, 64295 Darmstadt (DE). BARTOSZYK, Gerd [DE/DE]; Kreuzstrasse 57, 64331 Weiterstadt (DE). SEYFRIED, Christoph [DE/DE]; Mathildenstrasse 6, 64342 Seeheim-Jugenheim (DE).

- (74) Common Representative: MERCK PATENT GMBH; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (81) Designated states (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated states (regional): ARIPO Patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ,

[continued on next page]

(54) Title: SUBSTITUTED INDOLES

(57) Abstract: Substituted indoles of the formula (I) and physiologically acceptable derivatives and salts thereof, in which R^1 , D, E, R^{12} , p, X^1 , E, G, X^2 and Z are as defined in Claim 1, exhibit particular actions on the central nervous system, especially 5HT reuptake-inhibiting and 5 HTx-agonistic and/or -antagonistic actions and in particular serotonin-agonistic and -antagonistic properties and can be employed as antipsychotics, neuroleptics, antidepressants, anxiolytics and/or antihypertonics. They can furthermore be employed as excitatory amino acid antagonists for combating neurodegenerative diseases, including cerebrovascular diseases, epilepsy, schizophrenia, Alzheimer's disease, Parkinson's disease, Huntington's disease, cerebral ischaemia, infarction or psychoses